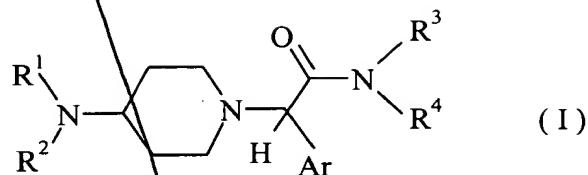


Patent Claims

We Claim:

1. A compound of formula (I):



5

or a pharmaceutically acceptable salt thereof,

wherein

R<sup>1</sup> denotes 3-hydroxypropyl, 1,3-dihydroxyprop-2-yl or C<sub>3</sub>-C<sub>6</sub>-cycloalkylmethyl,  
R<sup>2</sup> denotes hydrogen, C<sub>1</sub>-C<sub>6</sub>-alkyl, ω-hydroxy-C<sub>2</sub>-C<sub>4</sub>-alkyl, 1,3-dihydroxyprop-2-yl or  
C<sub>3</sub>-C<sub>6</sub>-cycloalkylmethyl,  
Ar denotes unsubstituted phenyl or phenyl which is 1- to 5-substituted by  
halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy, C<sub>1</sub>-C<sub>4</sub>-fluoroalkyl, C<sub>1</sub>-C<sub>4</sub>-  
fluoroalkoxy or -OCH<sub>2</sub>O-;  
R<sup>3</sup> denotes phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl, wherein the phenyl group may be substituted by  
1 to 3 substituents, wherein the substituents independently of one another are  
selected from halogen, hydroxy, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>1</sub>-C<sub>4</sub>-alkoxy,  
C<sub>1</sub>-C<sub>4</sub>-fluoroalkyl, and C<sub>1</sub>-C<sub>4</sub>-fluoroalkoxy;  
and  
R<sup>4</sup> denotes hydrogen, C<sub>1</sub>-C<sub>4</sub>-alkyl, C<sub>3</sub>-C<sub>8</sub>-cycloalkyl, CH<sub>2</sub>COOH, -CH<sub>2</sub>C(O)NH<sub>2</sub>,  
-OH or phenyl-C<sub>1</sub>-C<sub>4</sub>-alkyl .

2. A compound according to claim 1, wherein R<sup>4</sup> is C<sub>1</sub>-C<sub>4</sub>-alkyl.

3. A compound according to claim 1, wherein Ar is unsubstituted phenyl or 2,3-methylenedioxyphenyl.

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4. A compound according to claim 2, wherein Ar is unsubstituted phenyl or 2,3-methylenedioxyphenyl.

5. A compound according to claim 1, wherein R<sup>3</sup> denotes 2-phenylethyl, where the phenyl group may be substituted by 1 to 3 substituents, wherein the substituents independently of one another are each selected from among halogen, hydroxy, methyl, methoxy, trifluoromethyl or trifluoromethoxy.

10 6. A compound according to claim 2, wherein R<sup>3</sup> denotes 2-phenylethyl, where the phenyl group may be substituted by 1 to 3 substituents, wherein the substituents independently of one another are each selected from among halogen, hydroxy, methyl, methoxy, trifluoromethyl or trifluoromethoxy.

15 7. A compound according to claim 3, wherein R<sup>3</sup> denotes 2-phenylethyl, where the phenyl group may be substituted by 1 to 3 substituents, wherein the substituents independently of one another are each selected from among halogen, hydroxy, methyl, methoxy, trifluoromethyl or trifluoromethoxy.

20 8. A compound according to claim 4, wherein R<sup>3</sup> denotes 2-phenylethyl, where the phenyl group may be substituted by 1 to 3 substituents, wherein the substituents independently of one another are each selected from among halogen, hydroxy, methyl, methoxy, trifluoromethyl or trifluoromethoxy.

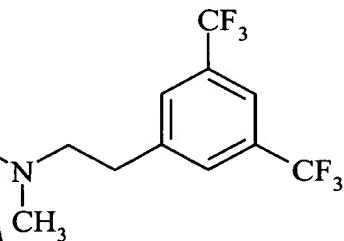
25 9. A compound according to claim 1, wherein R<sup>3</sup> is 2-(3,5-bistrifluoromethylphenyl)-ethyl.

10. A compound according to claim 2, wherein R<sup>3</sup> is 2-(3,5-bistrifluoromethylphenyl)-ethyl.

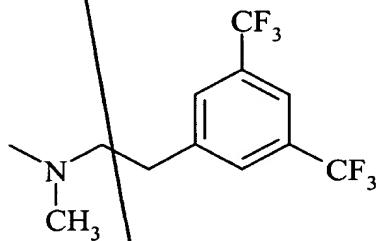
30 11. A compound according to claim 3, wherein R<sup>3</sup> is 2-(3,5-bistrifluoromethylphenyl)-ethyl.

12. A compound according to claim 4, wherein R<sup>3</sup> is 2-(3,5-bistrifluoromethylphenyl)-ethyl.

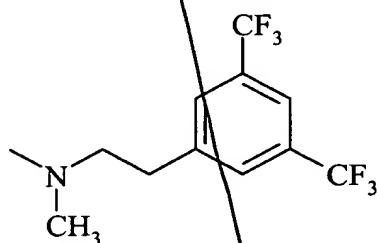
5 13. A compound according to claim 1, wherein the group -NR<sup>3</sup>R<sup>4</sup> is



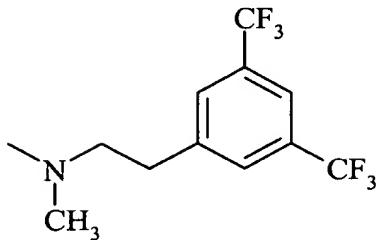
10 14. A compound according to claim 2, wherein the group -NR<sup>3</sup>R<sup>4</sup> is



15 15. A compound according to claim 3, wherein the group -NR<sup>3</sup>R<sup>4</sup> is



16. A compound according to claim 4, wherein the group  $-\text{NR}^3\text{R}^4$  is



5    17. A compound according to claim 1, wherein  
R<sup>1</sup> denotes a cyclopropymethyl group, and  
R<sup>2</sup> denotes a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub>-alkyl group or a 3-hydroxypropyl group.

10    18. A compound according to claim 2, wherein  
R<sup>1</sup> denotes a cyclopropymethyl group, and  
R<sup>2</sup> denotes a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub>-alkyl group or a 3-hydroxypropyl group.

15    19. A compound according to claim 3, wherein  
R<sup>1</sup> denotes a cyclopropymethyl group, and  
R<sup>2</sup> denotes a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub>-alkyl group or a 3-hydroxypropyl group.

20    20. A compound according to claim 4, wherein  
R<sup>1</sup> denotes a cyclopropymethyl group, and  
R<sup>2</sup> denotes a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub>-alkyl group or a 3-hydroxypropyl group.

25    21. A compound according to claim 1, wherein  
R<sup>1</sup> denotes a 3-hydroxypropyl or 1,3-dihydroxyprop-2-yl group, and  
R<sup>2</sup> denotes a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub>-alkyl group or a 2-hydroxyethyl group.

22. A compound according to claim 2, wherein

$R^1$  denotes a 3-hydroxypropyl or 1,3-dihydroxyprop-2-yl group, and

$R^2$  denotes a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub>-alkyl group or a 2-hydroxyethyl group.

5

23. A compound according to claim 3, wherein

$R^1$  denotes a 3-hydroxypropyl or 1,3-dihydroxyprop-2-yl group, and

$R^2$  denotes a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub>-alkyl group or a 2-hydroxyethyl group.

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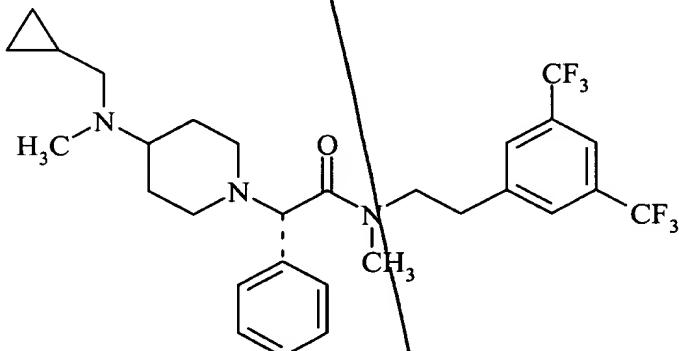
24. A compound according to claim 4, wherein

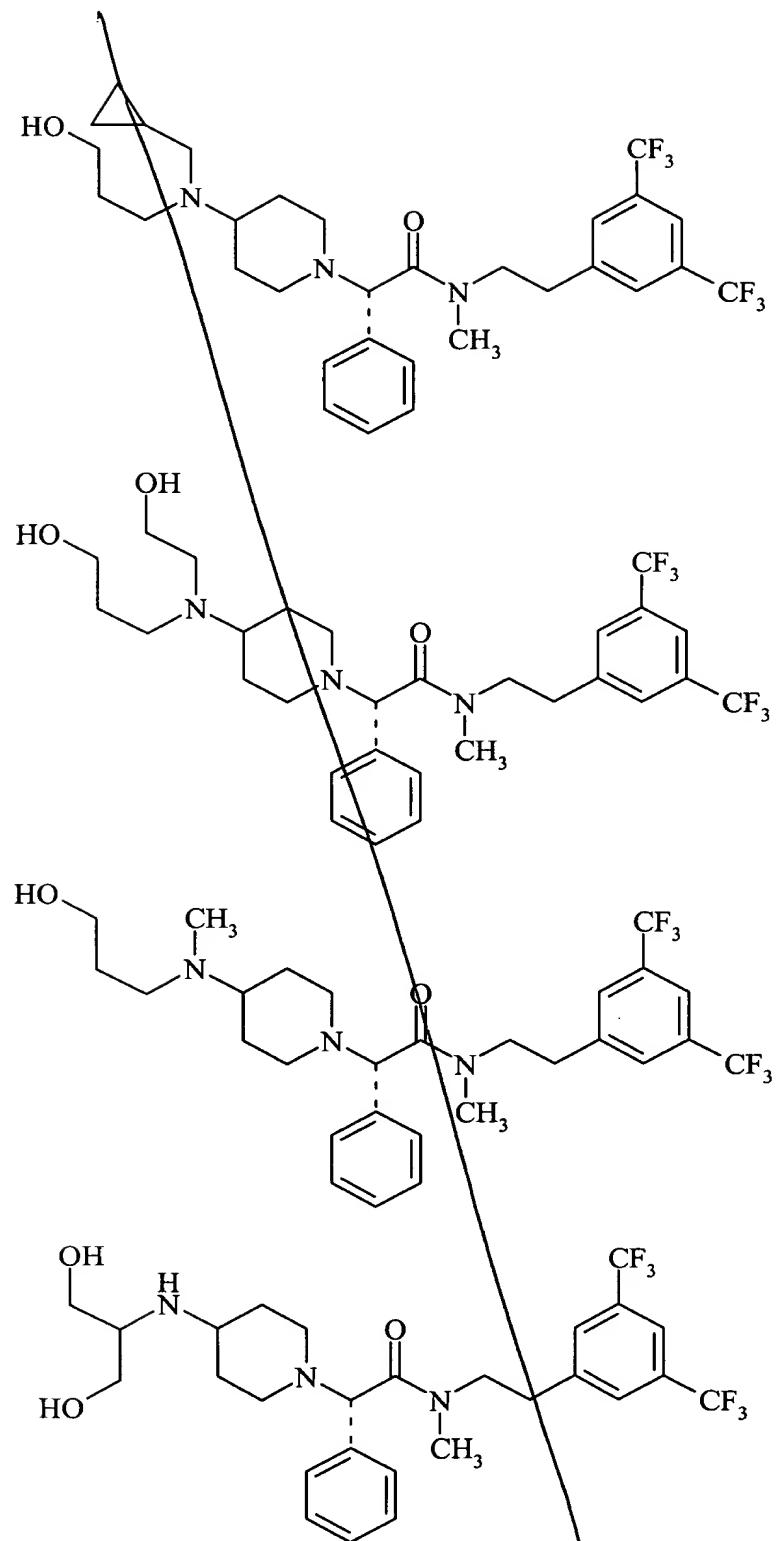
$R^1$  denotes a 3-hydroxypropyl or 1,3-dihydroxyprop-2-yl group, and

$R^2$  denotes a hydrogen atom, a C<sub>1</sub>-C<sub>3</sub>-alkyl group or a 2-hydroxyethyl group.

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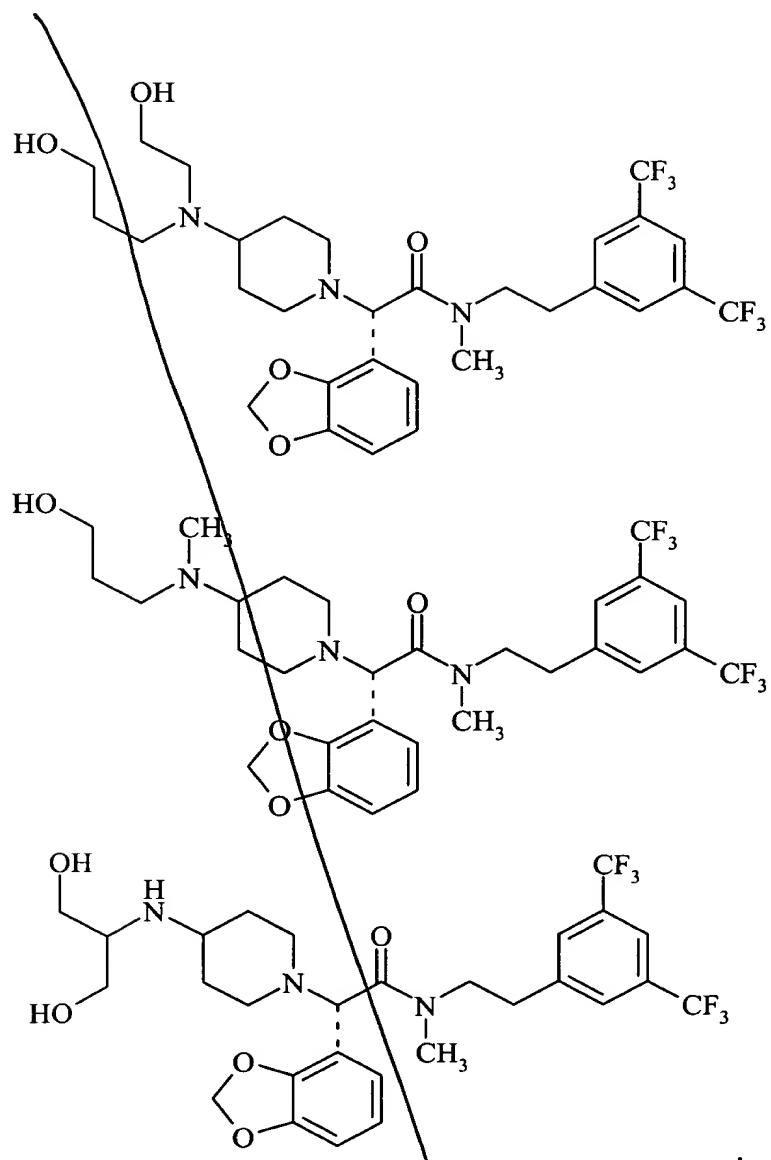
25. A compound according to claim 1, selected from the following compounds:



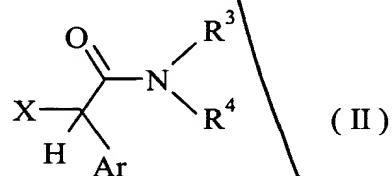


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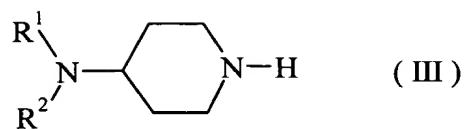


5 26. A process for preparing a compound of formula I according to claim 1, said process comprising reacting an amide of formula II



wherein Ar, R<sup>3</sup> and R<sup>4</sup> are as defined in claim 1 and X denotes a suitable leaving group,

with a piperidine of formula III



wherein R<sup>1</sup> and R<sup>2</sup> are as defined in claim 1, in an inert solvent in the presence of a base.

5

27. A pharmaceutical composition comprising a compound according to claim 1 and one or more pharmaceutically acceptable carriers and excipients.

10

28. A method of treating a neurokinin-mediated illness comprising administering to a host in need of such treatment a therapeutically effective amount of a compound according to claim 1.

15

29. A method according to claim 28, wherein the illness treated is selected from inflammatory and allergic conditions of the airways, eyes, skin, the gastro-intestinal tract, joints, bones and bladder; and central nervous system diseases.

20

30. A method according to claim 28, wherein the illness treated is selected from the following:

asthma, chronic bronchitis, hyperreactive airways, emphysema, rhinitis, COPD, pulmonary hypertension, cystic fibrosis, coughs; conjunctivitis, iritis;

dermatitis in contact eczema, neurodermatitis, pruritus, urticaria, psoriasis, sunburn, burns,

25

insect bites, rosacea, itching, sensitive or hypersensitive skin;

gastric and duodenal ulcers, ulcerative colitis, Crohn's disease, inflammatory bowel disease, irritable colon, Hirschsprung's disease, motility problems;

rheumatoid arthritis, reactive arthritis, arthrosis, osteoporosis and Reiter's syndrome;

irritable bladder, incontinence, urinary urgency, urethritis, colic and cystitis; restless leg syndrome;

dementia, Alzheimer's disease, schizophrenia, psychoses, anxiety states, alcohol or drug dependency, sexual dysfunctions, eating disorders, depression, headaches, epilepsy,

5 Parkinson's disease, stroke;

Herpes zoster, postherpetic pain, tumors, collagenoses, a dysfunction of the deferent urinary tracts, haemorrhoids, nausea and vomiting, and pain.

31. A method according to claim 29, wherein the illness is selected from: COPD,

10 anxiety states and depression.